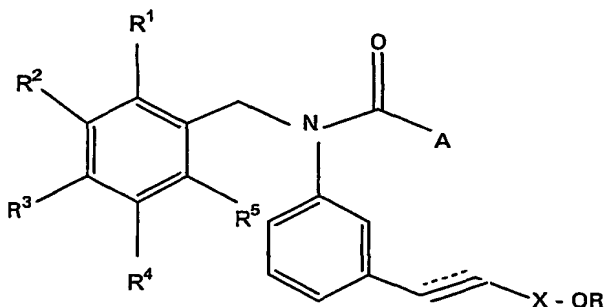


That which is claimed is:

1. A method for modulating process(es) mediated by farnesoid X receptor polypeptides, said method comprising conducting said process(es) in the presence of an effective amount of at least one compound having the structure:



wherein:

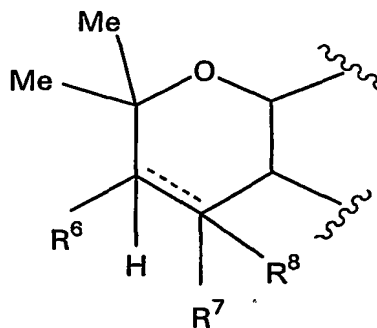
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is $-C(O)-$ or $-CH_2-$,

R is methyl or ethyl,

R^1 is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or $-OCH_2C(O)OC_2H_5$,

R^2 is H or R^2 can cooperate with R^3 to form a benzopyran, wherein the pyran ring has the structure:



wherein:

R^6 is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or R^6 can cooperate with R^7 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

only one of R^7 and R^8 is present if the pyran ring is unsaturated, or R^7 and R^8 are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or R^7 and R^8 taken together comprise a carbonyl oxygen or an oxime nitrogen, or either R^7 or R^8 can cooperate with R^6 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, R^3 can cooperate with R^2 to form a benzopyran having the structure set forth above, or R^3 is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

R^4 is H or hydroxy, and

R^5 is H, hydroxy, alkoxy or aryloxy.

2. The method of claim 1 wherein said process mediated by farnesoid X receptor is cholesterol metabolism.

3. The method of claim 1 wherein said process mediated by farnesoid X receptor is the regulation of lipid homeostasis.

4. The method of claim 1 wherein R^2 and R^3 cooperate to form a benzopyran.

5. The method of claim 4 wherein A is cyclopropyl, X is -C(O)-, R^1 is methoxy, R^6 and R^7 are absent, and R^4 , R^5 and R^8 are hydrogen.

6. The method of claim 4 wherein A is cyclopropyl, X is -CH₂-, R^1 is methoxy, R^6 and R^7 are absent, and R^4 , R^5 and R^8 are hydrogen.

7. The method of claim 4 wherein A is cyclohexyl, X is -C(O)-, R^1 is methoxy, R^6 and R^7 are absent, and R^4 , R^5 and R^8 are hydrogen.

8. The method of claim 4 wherein A is phenyl, X is -C(O)-, R^1 is methoxy, R^6 and R^7 are absent, and R^4 , R^5 and R^8 are hydrogen.

9. The method of claim 4 wherein A is phenyl, X is -C(O)-, R¹ is methoxy, R⁶ and R⁷ cooperate to form a dichlorocyclopropyl ring, and R⁴, R⁵ and R⁸ are hydrogen.
10. The method of claim 4 wherein A is cyclohexyl, X is -C(O)-, R¹ is methoxy, R⁶ and R⁷ cooperate to form a dichlorocyclopropyl ring, and R⁴, R⁵ and R⁸ are hydrogen.
11. The method of claim 1 wherein R³ is alkenyl.
12. The method of claim 11 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-C(O)-O-tBu.
13. The method of claim 1 wherein R³ is optionally substituted aryl or heteroaryl.
14. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is phenyl.
15. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is p-thiomethyl-phenyl.
16. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is m-methoxy-phenyl.
17. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is m-acetyl-phenyl.
18. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 5-methyl-2-thiophene-yl.
19. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 5-acetyl-2-thiophene-yl.

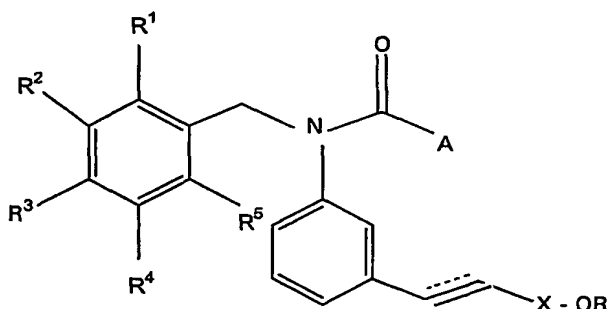
20. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 4-dimethylamino-phenyl.
21. The method of claim 13 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 4-dimethylamino-phenyl.
22. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 2,3-(O-CH₂-O)-phenyl.
23. The method of claim 13 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is 2,3-(O-CH₂-O)-phenyl.
24. The method of claim 1 wherein R³ is or optionally substituted arylalkenyl or heteroarylalkenyl.
25. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-phenyl.
26. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-phenyl.
27. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-p-methoxy-phenyl.
28. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-o-fluoro-phenyl.
29. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-o-fluoro-phenyl.
30. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-m-fluoro-phenyl.

31. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-m-fluoro-phenyl.

32. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-p-fluoro-phenyl.

33. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R¹ R², R⁴ and R⁵ are hydrogen, and R³ is -CH=CH-p-fluoro-phenyl.

36. A method for the treatment of hypercholesteremia, said method comprising administering to a subject in need thereof an effective amount of at least one compound having the structure:



wherein:

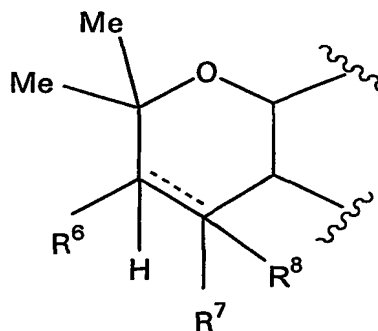
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is -C(O)- or -CH₂-,

R is methyl or ethyl,

R¹ is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or -OCH₂C(O)OC₂H₅,

R² is H or R² can cooperate with R³ to form a benzopyran, wherein the pyran ring has the structure:



wherein:

R^6 is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or R^6 can cooperate with R^7 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

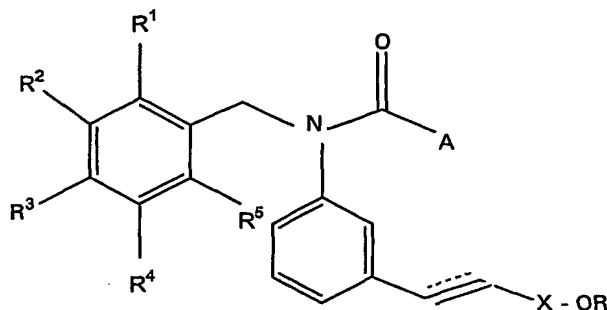
only one of R^7 and R^8 is present if the pyran ring is unsaturated, or R^7 and R^8 are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or R^7 and R^8 taken together comprise a carbonyl oxygen or an oxime nitrogen, or either R^7 or R^8 can cooperate with R^6 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety,

R^3 can cooperate with R^2 to form a benzopyran having the structure set forth above, or R^3 is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

R^4 is H or hydroxy, and

R^5 is H, hydroxy, alkoxy or aryloxy.

37. A method for the treatment of cholestasis, said method comprising administering to a subject in need thereof an effective amount of at least one compound having the structure:



wherein:

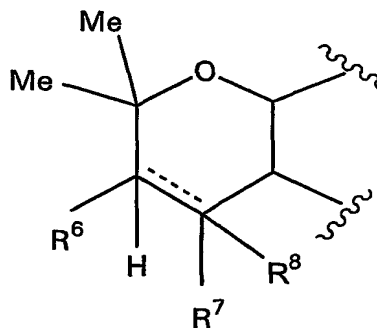
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is $-\text{C}(\text{O})-$ or $-\text{CH}_2-$,

R is methyl or ethyl,

R^1 is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or $-\text{OCH}_2\text{C}(\text{O})\text{OC}_2\text{H}_5$,

R^2 is H or R^2 can cooperate with R^3 to form a benzopyran, wherein the pyran ring has the structure:



wherein:

R^6 is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or R^6 can cooperate with R^7 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

only one of R^7 and R^8 is present if the pyran ring is unsaturated, or R^7 and R^8 are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or R^7 and R^8 taken together comprise a carbonyl oxygen or an oxime nitrogen, or either R^7 or R^8 can cooperate with R^6 to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety,

R^3 can cooperate with R^2 to form a benzopyran having the structure set forth above, or R^3 is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

R^4 is H or hydroxy, and

R^5 is H, hydroxy, alkoxy or aryloxy.